Page 1 16:45 <golam shameem> 05/17/2004

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LOGINID:ssspta1626gms

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	JAN 27	Source of Registration (SR) information in REGISTRY updated and searchable
NEWS	4	JAN 27	A new search aid, the Company Name Thesaurus, available in CA/CAplus
NEWS	5	FEB 05	German (DE) application and patent publication number format changes
NEWS	6	MAR 03	MEDLINE and LMEDLINE reloaded
NEWS	7	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	8	MAR 03	FRANCEPAT now available on STN
NEWS	9	MAR 29	Pharmaceutical Substances (PS) now available on STN
NEWS	10	MAR 29	WPIFV now available on STN
NEWS	11	MAR 29	New monthly current-awareness alert (SDI) frequency in RAPRA
NEWS	12	APR 26	PROMT: New display field available
NEWS	13	APR 26	IFIPAT/IFIUDB/IFICDB: New super search and display field available
NEWS	14	APR 26	LITALERT now available on STN
NEWS	15	APR 27	NLDB: New search and display fields available
NEWS	16	May 10	PROUSDDR now available on STN
NEWS	17	May 19	PROUSDDR: One FREE connect hour, per account, in both May and June 2004
NEWS	18	May 12	EXTEND option available in structure searching
NEWS	19	May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS	20	May 17	FRFULL now available on STN

NEWS EXPRESS	MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:41:05 ON 17 MAY 2004

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:41:14 ON 17 MAY 2004

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 16 MAY 2004 HIGHEST RN 682330-24-1
DICTIONARY FILE UPDATES: 16 MAY 2004 HIGHEST RN 682330-24-1

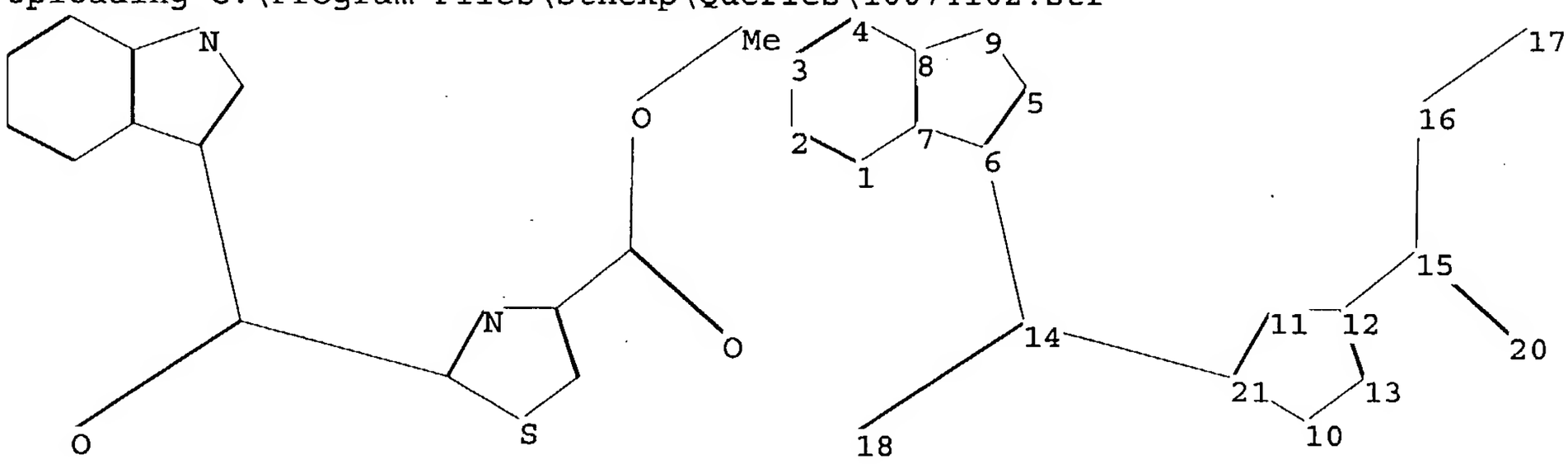
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10074102.str



chain nodes :
14 15 16 17 18 20
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 21
chain bonds :
6-14 12-15 14-18 14-21 15-16 15-20 16-17
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 10-13 10-21 11-12 11-21 12-13

exact/norm bonds :
5-9 8-9 11-12 11-21 14-18 15-16 15-20
exact bonds :

10074102

5-6 6-7 6-14 10-13 10-21 12-13 12-15 14-21 16-17
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8
isolated ring systems :
containing 1 : 10 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS
20:CLASS 21:Atom

L1 STRUCTURE UPLOADED
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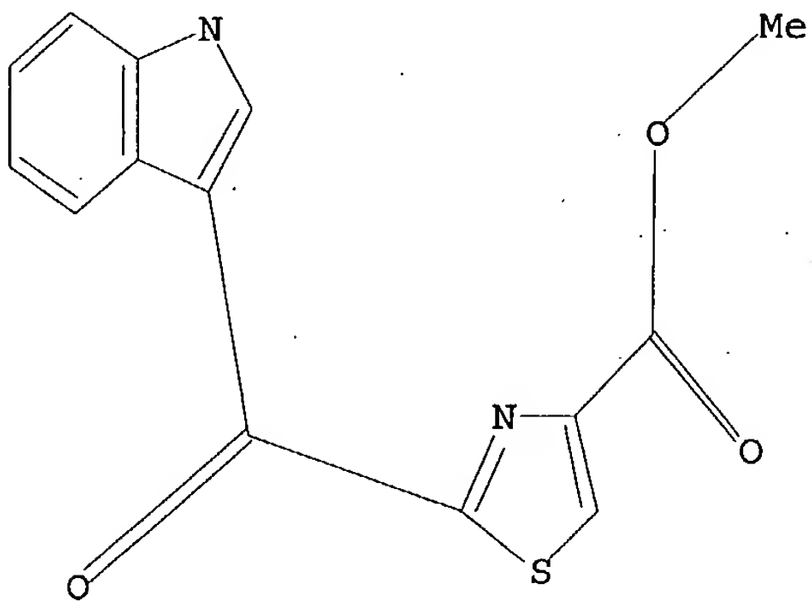
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 16:41:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2 TO 124
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 16:41:39 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 151 TO ITERATE

100.0% PROCESSED 151 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

10074102

L3 1 SEA SSS FUL L1

=> FIL CAPLUS
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 155.42 155.63

FILE 'CAPLUS' ENTERED AT 16:41:43 ON 17 MAY 2004

FILE COVERS 1907 - 17 May 2004 VOL 140 ISS 21
FILE LAST UPDATED: 16 May 2004 (20040516/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 4 L3

=> d l4 ibib abs hitstr tot

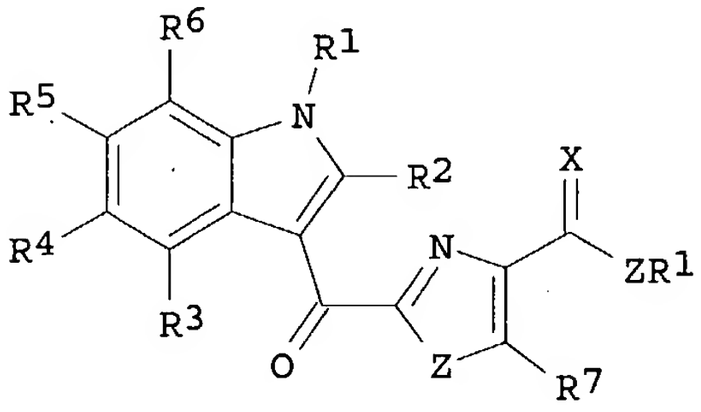
L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:656741 CAPLUS
DOCUMENT NUMBER: 139:197298
TITLE: Synthesis of indole thiazoles as ligands for the Ah receptor
INVENTOR(S): Deluca, Hector F.; Grzywacz, Pawel K.; Sicinski, Rafal R.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068742	A1	20030821	WO 2003-US4205	20030211

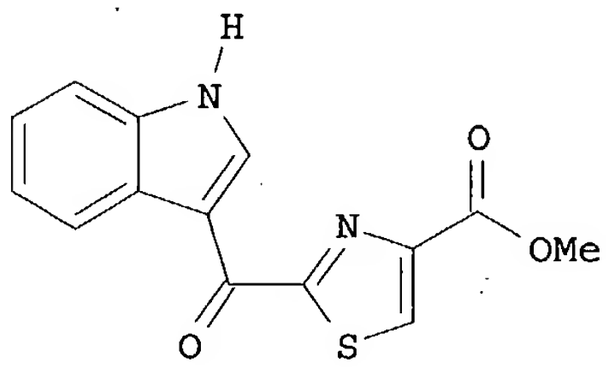
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,

10074102

TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2002183524 A1 20021205 US 2002-74102 20020212
PRIORITY APPLN. INFO.: US 2002-356585P P 20020212
US 2002-74102 A 20020212
US 2001-268809P P 20010214
OTHER SOURCE(S): CASREACT 139:197298; MARPAT 139:197298
GI



I

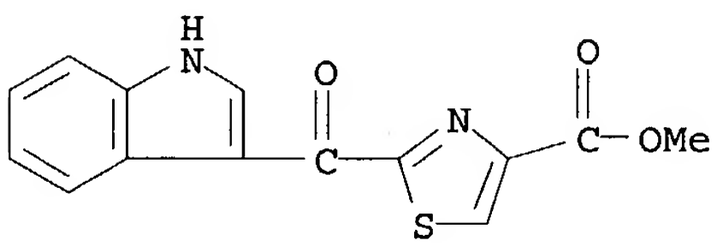


II

AB Title compds. I [wherein R1 = H, cycloalkyl, (un)substituted alkyl, aryl, a protecting group; R2, R3, R3, R4, R5, R6, R7 = H, alkyl, cycloalkyl, acyl, alkoxy, alkoxycarbonyl, halo, benzyloxy, nitro, NH2 and derivs., (un)substituted aryl; X, Z = O, S, NH] were prepared as aryl hydrocarbon receptor (AhR) ligands (no data) via TiCl4-catalyzed cyclization of indole-3-glyoxylamides to form the dihydrothiazole ring, and MnO2, NiO2, or BrCCl3/DBU oxidation to the thiazole. For example, II was prepared by TEA-acylation of L-cysteine Me ester with indole-3-glyoxylyl chloride in benzene at room temperature for 20 h, and at reflux for 2.5 h, TiCl4-cyclization of the indole-3-glyoxylamide intermediate, and MnO2, NiO2, or BrCCl3/DBU oxidation of the resulting 4,5-dihydrothiazole. Compound II was found to be identical with the endogenous AhR ligand isolated previously from pig lung.

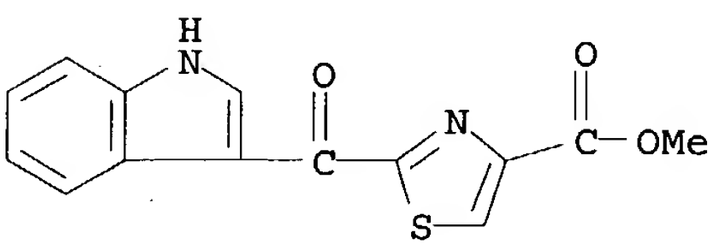
IT **448906-42-1P**, 2-(1'H-Indole-3'-carbonyl)thiazole-4-carboxylic acid methyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(Ah receptor ligand; synthesis of indole thiazoles as Ah receptor ligands)

RN 448906-42-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(1H-indol-3-ylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:338849 CAPLUS
DOCUMENT NUMBER: 139:214378
TITLE: A concise synthesis of an AHR endogenous ligand with the indolecarbonylthiazole skeleton
AUTHOR(S): Grzywacz, Pawel; Sicinski, Rafal R.; DeLuca, Hector F.
CORPORATE SOURCE: Department of Biochemistry, University of Wisconsin-Madison, Madison, WI, 53706, USA
SOURCE: Heterocycles (2003), 60(5), 1219-1224
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:214378
AB Short synthesis of an endogenous ligand for the aryl hydrocarbon receptor (AHR), 2-(1H-indol-3-ylcarbonyl)thiazole-4-carboxylic acid Me ester (I) was described. N-Acylation of L-cysteine Me ester with α-oxo-1H-indole-3-acetyl chloride chloride provided a glyoxylamide [i.e., (+)-N-[(1H-indol-3-yl)-1,2-dioxoethyl]-L-cysteine Me ester] which underwent the TiCl4-mediated cyclization to a thiazoline compound[i.e., (+)-(4R)-2-(1H-indol-3-ylcarbonyl)-4,5-dihydro-4-thiazolecarboxylic acid Me ester]. Dehydrogenation of the latter gave I.
IT **448906-42-1P**, 2-(1H-Indol-3-ylcarbonyl)-4-thiazolecarboxylic acid methyl ester
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of aryl hydrocarbon receptor endogenous ligand (indolylcarbonyl)thiazolecarboxylic acid Me ester)
RN 448906-42-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(1H-indol-3-ylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

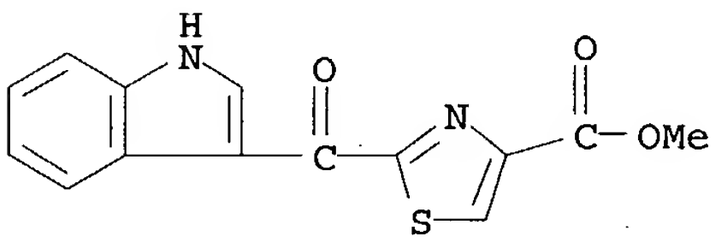
L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

10074102

ACCESSION NUMBER: 2002:928827 CAPLUS
DOCUMENT NUMBER: 138:202074
TITLE: A ligand for the aryl hydrocarbon receptor isolated from lung
AUTHOR(S): Song, Jiasheng; Clagett-Dame, Margaret; Peterson, Richard E.; Hahn, Mark E.; Westler, William M.; Sicinski, Rafal R.; DeLuca, Hector F.
CORPORATE SOURCE: Department of Biochemistry, College of Agricultural and Life Sciences, Univ. of Wisconsin, Madison, WI, 53706, USA
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (2002), 99(23), 14694-14699
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The aryl hydrocarbon receptor (AHR) is a ligand-inducible transcription factor that is best known because it mediates the actions of polycyclic and halogenated aromatic hydrocarbon environmental toxicants such as 3-methylcholanthrene and 2,3,7,8-tetrachlorodibenzo-p-dioxin. We report here the successful identification of an endogenous ligand for this receptor; ≈20 μg was isolated in pure form from 35 kg of porcine lung. Its structure was deduced as 2-(1'H-indole-3'-carbonyl)-thiazole-4-carboxylic acid Me ester from extensive phys. measurements and quantum mech. calcns. In a reporter gene assay, this ligand activates the AHR with a potency five times greater than that of β-naphthoflavone, a prototypical synthetic AHR ligand. 2-(1'H-indole-3'-carbonyl)-thiazole-4-carboxylic acid Me ester competes with 2,3,7,8-[3H]tetrachlorodibenzo-p-dioxin for binding to human, murine, and fish AHRs, thus showing that AHR activation is caused by direct receptor binding, and that recognition of this endogenous ligand is conserved from early vertebrates (fish) to humans.

IT **448906-42-1**
RL: BSU (Biological study, unclassified); NPO (Natural product occurrence); BIOL (Biological study); OCCU (Occurrence)
(ligand for aryl hydrocarbon receptor isolated from porcine lung)
RN 448906-42-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(1H-indol-3-ylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:637522 CAPLUS
DOCUMENT NUMBER: 137:163838
TITLE: Preparation and use of an aryl hydrocarbon (Ah) receptor ligand, 2-(1'-H-indole-3'-carbonyl)-thiazole-4-carboxylic acid methyl ester
INVENTOR(S): Deluca, Hector F.; Song, Jiasheng; Clagett-Dame, Margaret; Peterson, Richard E.; Westler, William M.;

10074102

	Sicinski, Raphal R.
PATENT ASSIGNEE(S):	Wisconsin Alumni Research Foundation, USA
SOURCE:	PCT Int. Appl., 70 pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064138	A1	20020822	WO 2002-US4137	20020212
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1365760	A1	20031203	EP 2002-717416	20020212
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
BR 2002007689	A	20040309	BR 2002-7689	20020212

PRIORITY APPLN. INFO.:	US 2001-268809P	P	20010214
	WO 2002-US4137	W	20020212

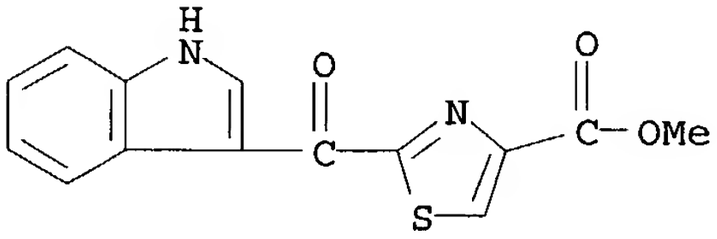
OTHER SOURCE(S): MARPAT 137:163838

AB Preparation, use, and structure of endogenous Ah receptor ligand is disclosed. Ligand analogs are also disclosed. Potential therapeutic uses include e.g. body weight reduction and immunomodulation.

IT **448906-42-1P**
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
(Ah receptor endogenous ligand preparation and use)

RN 448906-42-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-(1H-indol-3-ylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	19.90	175.53

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION

10074102

CA SUBSCRIBER PRICE -2.77 -2.77

FILE 'REGISTRY' ENTERED AT 16:43:11 ON 17 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 16 MAY 2004 HIGHEST RN 682330-24-1
DICTIONARY FILE UPDATES: 16 MAY 2004 HIGHEST RN 682330-24-1

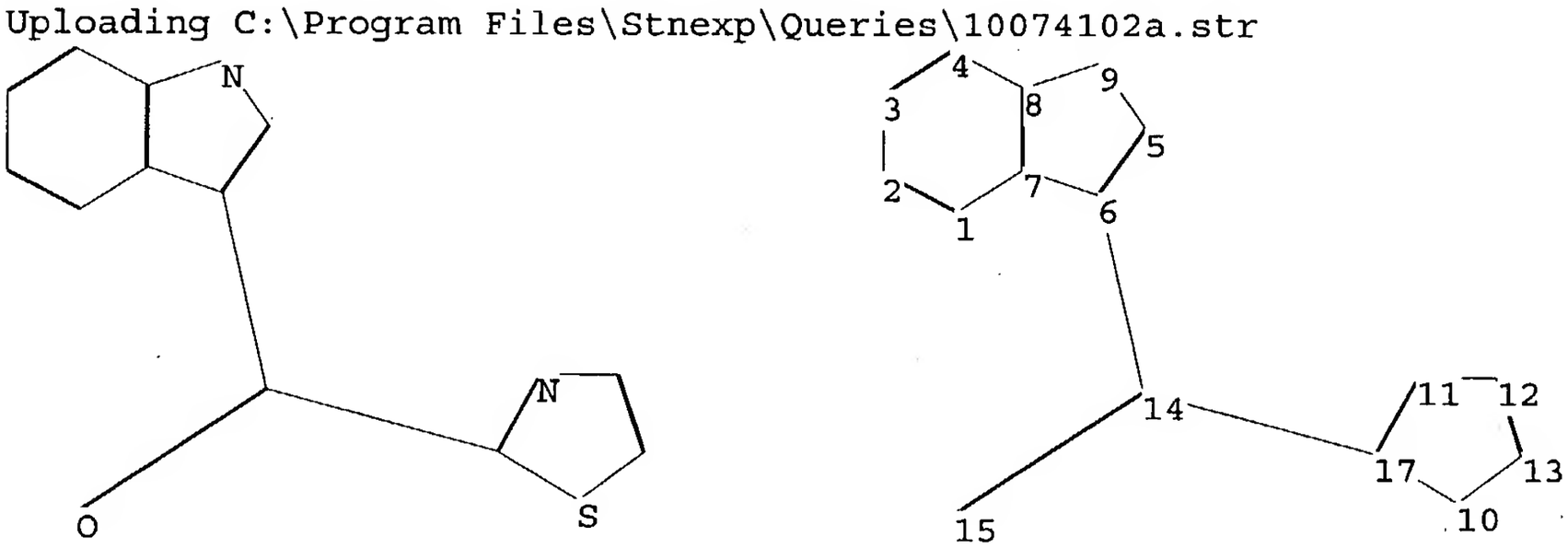
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10074102a.str



chain nodes :
14 15
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 17
chain bonds :
6-14 14-15 14-17
ring bonds :
1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 10-13 10-17 11-12 11-17 12-13

exact/norm bonds :
5-9 8-9 11-12 11-17 14-15
exact bonds :
5-6 6-7 6-14 10-13 10-17 12-13 14-17
normalized bonds :
1-2 1-7 2-3 3-4 4-8 7-8
isolated ring systems :
containing 1 : 10 :

10074102

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 17:Atom

L5 STRUCTURE UPLOADED

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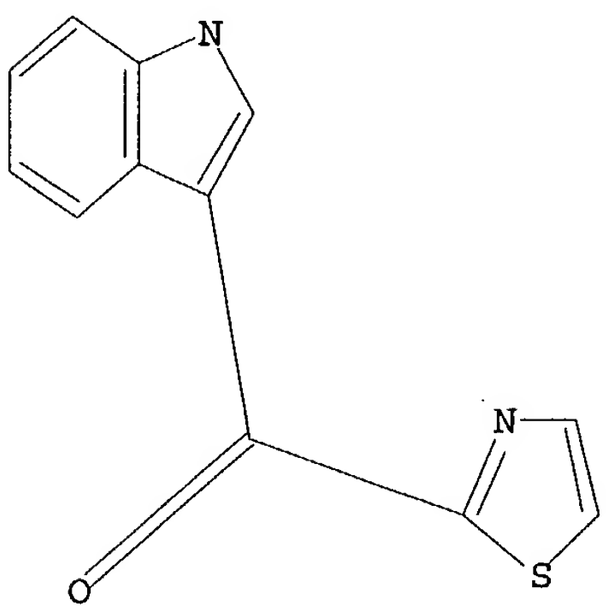
L5 HAS NO ANSWERS
L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l5
SAMPLE SEARCH INITIATED 16:43:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 27 TO ITERATE

100.0% PROCESSED 27 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 229 TO 851
PROJECTED ANSWERS: 2 TO 124

L6 2 SEA SSS SAM L5

=> s l5 sss full
FULL SEARCH INITIATED 16:43:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 461 TO ITERATE

100.0% PROCESSED 461 ITERATIONS 14 ANSWERS
SEARCH TIME: 00.00.01

L7 14 SEA SSS FUL L5

=> FIL CAPLUS
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION

FULL ESTIMATED COST 155.42 330.95

10074102

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-2.77

FILE 'CAPLUS' ENTERED AT 16:43:40 ON 17 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 17 May 2004 VOL 140 ISS 21
FILE LAST UPDATED: 16 May 2004 (20040516/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l7
L8 5 L7

=> s l7/p
L9 4 L7/P

=> d l8 ibib abs hitstr tot

L8 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:656741 CAPLUS
DOCUMENT NUMBER: 139:197298
TITLE: Synthesis of indole thiazoles as ligands for the Ah receptor
INVENTOR(S): Deluca, Hector F.; Grzywacz, Pawel K.; Sicinski, Rafal R.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068742	A1	20030821	WO 2003-US4205	20030211

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

10074102

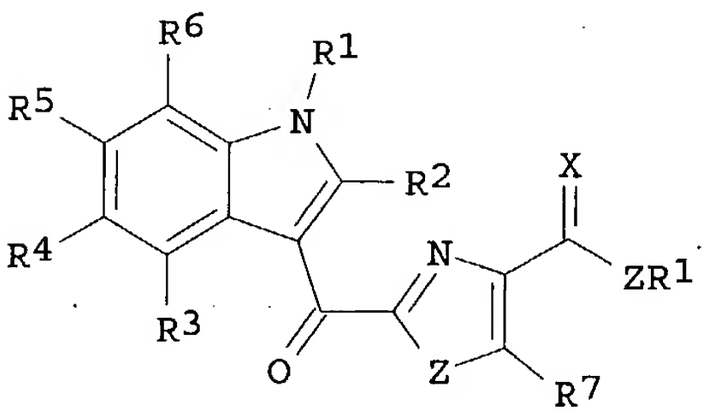
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002183524 A1 20021205 US 2002-74102 20020212

PRIORITY APPLN. INFO.: US 2002-356585P P 20020212
US 2002-74102 A 20020212
US 2001-268809P P 20010214

OTHER SOURCE(S): CASREACT 139:197298; MARPAT 139:197298

GI



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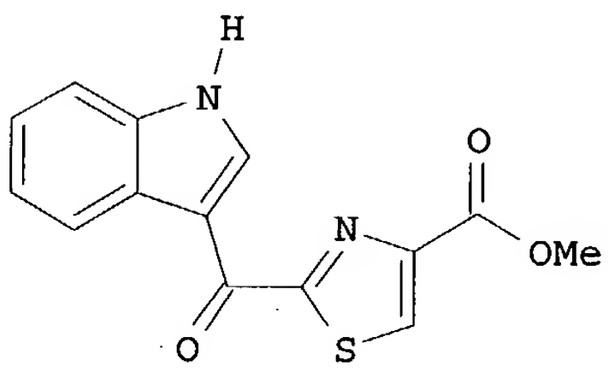


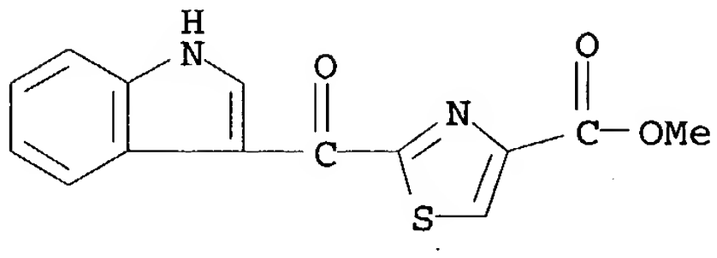
II

AB Title compds. I [wherein R1 = H, cycloalkyl, (un)substituted alkyl, aryl, a protecting group; R2, R3, R3, R4, R5, R6, R7 = H, alkyl, cycloalkyl, acyl, alkoxy, alkoxycarbonyl, halo, benzyloxy, nitro, NH2 and derivs., (un)substituted aryl; X, Z = O, S, NH] were prepared as aryl hydrocarbon receptor (AhR) ligands (no data) via TiCl4-catalyzed cyclization of indole-3-glyoxylamides to form the dihydrothiazole ring, and MnO2, NiO2, or BrCCl3/DBU oxidation to the thiazole. For example, II was prepared by TEA-acylation of L-cysteine Me ester with indole-3-glyoxylyl chloride in benzene at room temperature for 20 h, and at reflux for 2.5 h, TiCl4-cyclization of the indole-3-glyoxylamide intermediate, and MnO2, NiO2, or BrCCl3/DBU oxidation of the resulting 4,5-dihydrothiazole. Compound II was found to be identical with the endogenous AhR ligand isolated previously from pig lung.

IT **448906-42-1P**, 2-(1'H-Indole-3'-carbonyl)thiazole-4-carboxylic acid methyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(Ah receptor ligand; synthesis of indole thiazoles as Ah receptor ligands)

RN 448906-42-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-(1H-indol-3-ylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



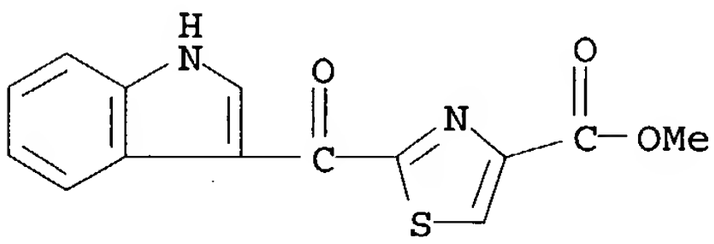
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:338849 CAPLUS
DOCUMENT NUMBER: 139:214378
TITLE: A concise synthesis of an AHR endogenous ligand with the indolecarbonylthiazole skeleton
AUTHOR(S): Grzywacz, Pawel; Sicinski, Rafal R.; DeLuca, Hector F.
CORPORATE SOURCE: Department of Biochemistry, University of Wisconsin-Madison, Madison, WI, 53706, USA
SOURCE: Heterocycles (2003), 60(5), 1219-1224
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:214378

AB Short synthesis of an endogenous ligand for the aryl hydrocarbon receptor (AHR), 2-(1H-indol-3-ylcarbonyl)thiazole-4-carboxylic acid Me ester (I) was described. N-Acylation of L-cysteine Me ester with α-oxo-1H-indole-3-acetyl chloride chloride provided a glyoxylamide [i.e., (+)-N-[(1H-indol-3-yl)-1,2-dioxoethyl]-L-cysteine Me ester] which underwent the TiCl4-mediated cyclization to a thiazoline compound[i.e., (+)-(4R)-2-(1H-indol-3-ylcarbonyl)-4,5-dihydro-4-thiazolecarboxylic acid Me ester]. Dehydrogenation of the latter gave I.

IT **448906-42-1P**, 2-(1H-Indol-3-ylcarbonyl)-4-thiazolecarboxylic acid methyl ester
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of aryl hydrocarbon receptor endogenous ligand (indolylcarbonyl)thiazolecarboxylic acid Me ester)

RN 448906-42-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(1H-indol-3-ylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:928827 CAPLUS
DOCUMENT NUMBER: 138:202074
TITLE: A ligand for the aryl hydrocarbon receptor isolated

10074102

from lung

AUTHOR(S): Song, Jiasheng; Clagett-Dame, Margaret; Peterson, Richard E.; Hahn, Mark E.; Westler, William M.; Sicinski, Rafal R.; DeLuca, Hector F.

CORPORATE SOURCE: Department of Biochemistry, College of Agricultural and Life Sciences, Univ. of Wisconsin, Madison, WI, 53706, USA

SOURCE: Proceedings of the National Academy of Sciences of the United States of America (2002), 99(23), 14694-14699
CODEN: PNASA6; ISSN: 0027-8424

PUBLISHER: National Academy of Sciences

DOCUMENT TYPE: Journal

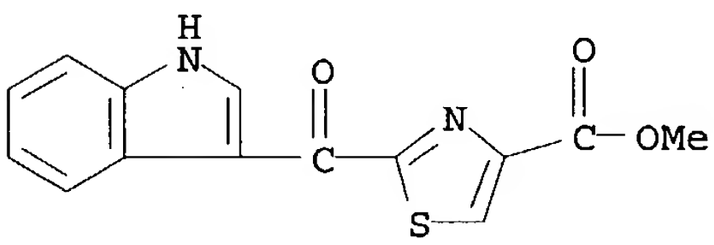
LANGUAGE: English

AB The aryl hydrocarbon receptor (AHR) is a ligand-inducible transcription factor that is best known because it mediates the actions of polycyclic and halogenated aromatic hydrocarbon environmental toxicants such as 3-methylcholanthrene and 2,3,7,8-tetrachlorodibenzo-p-dioxin. We report here the successful identification of an endogenous ligand for this receptor; ≈20 μg was isolated in pure form from 35 kg of porcine lung. Its structure was deduced as 2-(1'H-indole-3'-carbonyl)-thiazole-4-carboxylic acid Me ester from extensive phys. measurements and quantum mech. calcns. In a reporter gene assay, this ligand activates the AHR with a potency five times greater than that of β-naphthoflavone, a prototypical synthetic AHR ligand. 2-(1'H-indole-3'-carbonyl)-thiazole-4-carboxylic acid Me ester competes with 2,3,7,8-[3H]tetrachlorodibenzo-p-dioxin for binding to human, murine, and fish AHRs, thus showing that AHR activation is caused by direct receptor binding, and that recognition of this endogenous ligand is conserved from early vertebrates (fish) to humans.

IT **448906-42-1**
RL: BSU (Biological study, unclassified); NPO (Natural product occurrence); BIOL (Biological study); OCCU (Occurrence)
(ligand for aryl hydrocarbon receptor isolated from porcine lung)

RN 448906-42-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-(1H-indol-3-ylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:637522 CAPLUS

DOCUMENT NUMBER: 137:163838

TITLE: Preparation and use of an aryl hydrocarbon (Ah) receptor ligand, 2-(1'-H-indole-3'-carbonyl)-thiazole-4-carboxylic acid methyl ester

INVENTOR(S): Deluca, Hector F.; Song, Jiasheng; Clagett-Dame, Margaret; Peterson, Richard E.; Westler, William M.; Sicinski, Raphal R.

PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA

SOURCE: PCT Int. Appl., 70 pp.

10074102

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064138	A1	20020822	WO 2002-US4137	20020212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1365760	A1	20031203	EP 2002-717416	20020212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007689	A	20040309	BR 2002-7689	20020212

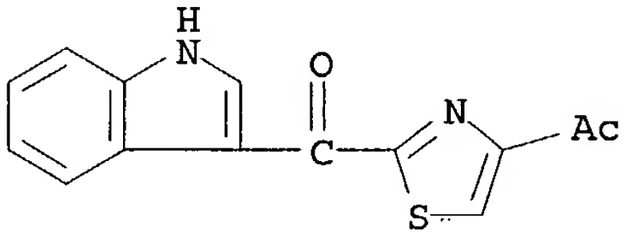
PRIORITY APPLN. INFO.: US 2001-268809P P 20010214
WO 2002-US4137 W 20020212

OTHER SOURCE(S): MARPAT 137:163838

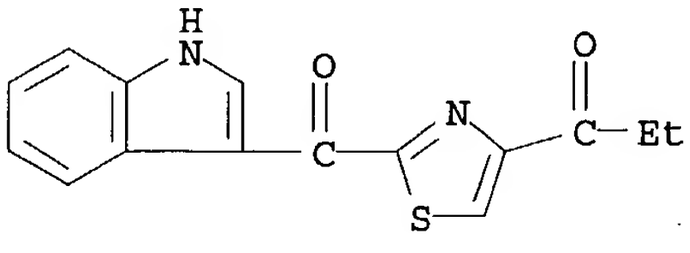
AB Preparation, use, and structure of endogenous Ah receptor ligand is disclosed. Ligand analogs are also disclosed. Potential therapeutic uses include e.g. body weight reduction and immunomodulation.

IT **448906-46-5 448906-49-8 448906-52-3**
448906-55-6 448906-58-9 448906-62-5
448906-91-0 448906-94-3 448906-99-8
448907-03-7 448907-08-2 448907-12-8
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(Ah receptor endogenous ligand preparation and use)

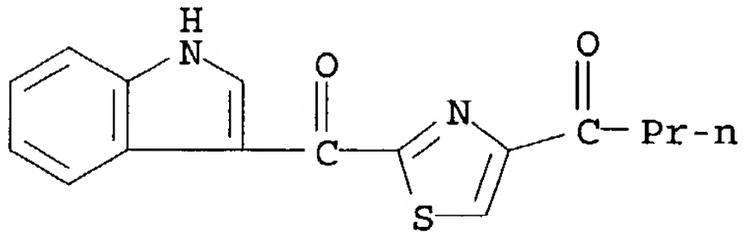
RN 448906-46-5 CAPLUS
CN Ethanone, 1-[2-(1H-indol-3-ylcarbonyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



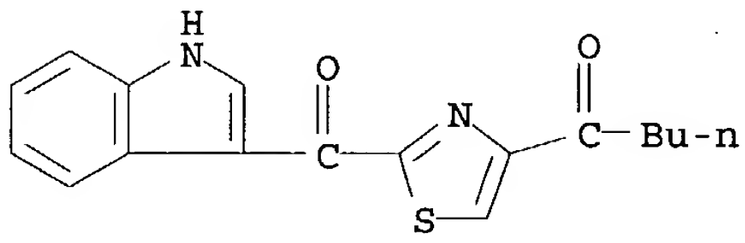
RN 448906-49-8 CAPLUS
CN 1-Propanone, 1-[2-(1H-indol-3-ylcarbonyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



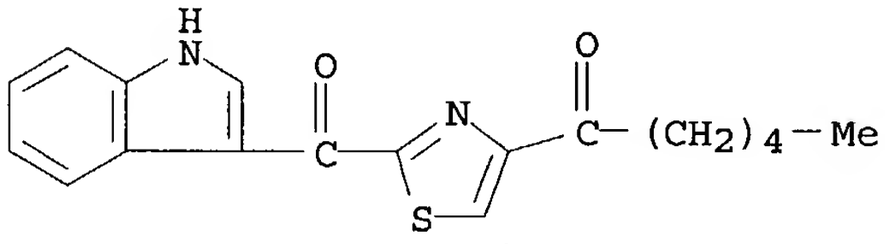
RN 448906-52-3 CAPLUS
CN 1-Butanone, 1-[2-(1H-indol-3-ylcarbonyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



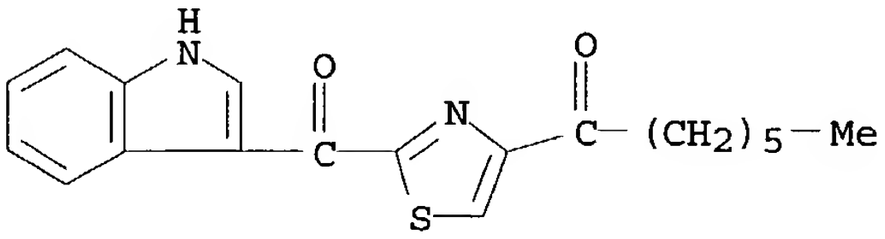
RN 448906-55-6 CAPLUS
CN 1-Pentanone, 1-[2-(1H-indol-3-ylcarbonyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



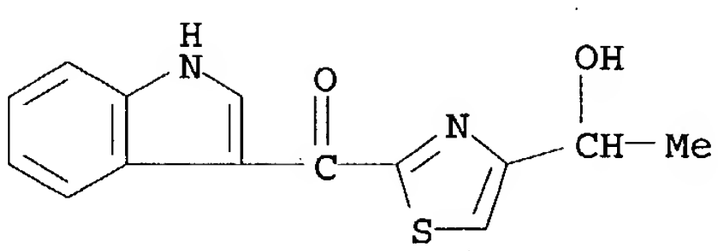
RN 448906-58-9 CAPLUS
CN 1-Hexanone, 1-[2-(1H-indol-3-ylcarbonyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



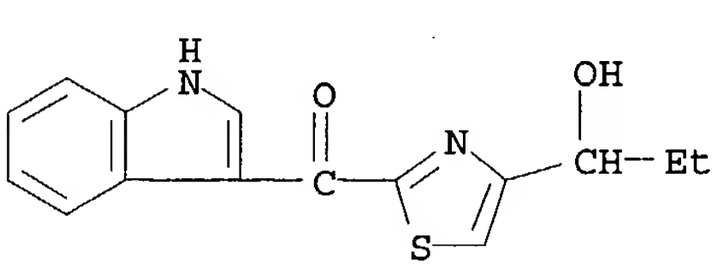
RN 448906-62-5 CAPLUS
CN 1-Heptanone, 1-[2-(1H-indol-3-ylcarbonyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)



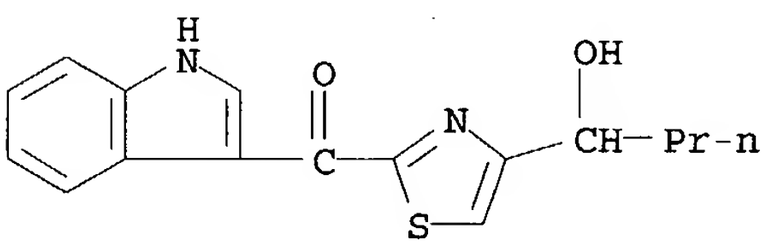
RN 448906-91-0 CAPLUS
CN Methanone, [4-(1-hydroxyethyl)-2-thiazolyl]-1H-indol-3-yl- (9CI) (CA INDEX NAME)



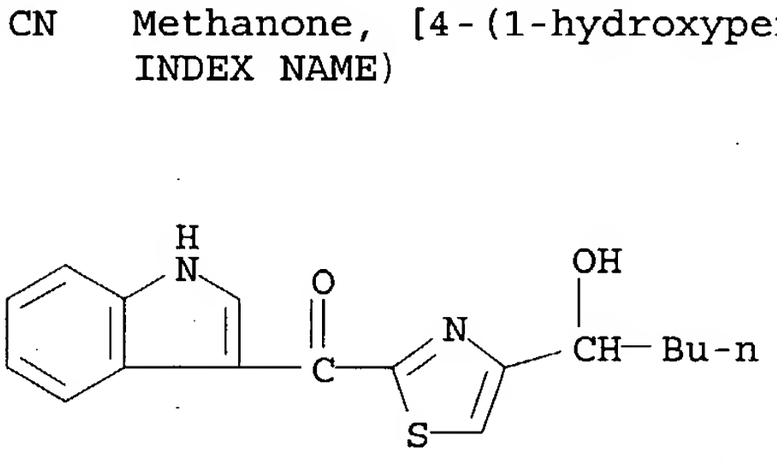
RN 448906-94-3 CAPLUS
CN Methanone, [4-(1-hydroxypropyl)-2-thiazolyl]-1H-indol-3-yl- (9CI) (CA INDEX NAME)



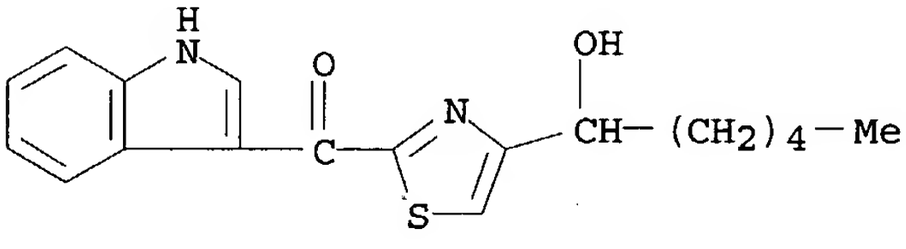
RN 448906-99-8 CAPLUS
CN Methanone, [4-(1-hydroxybutyl)-2-thiazolyl]-1H-indol-3-yl- (9CI) (CA INDEX NAME)



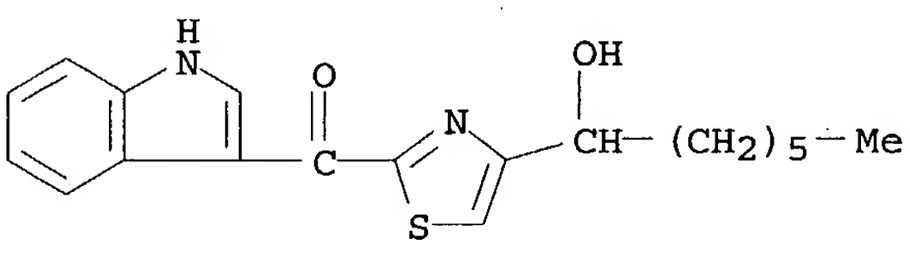
RN 448907-03-7 CAPLUS
CN Methanone, [4-(1-hydroxypentyl)-2-thiazolyl]-1H-indol-3-yl- (9CI) (CA INDEX NAME)



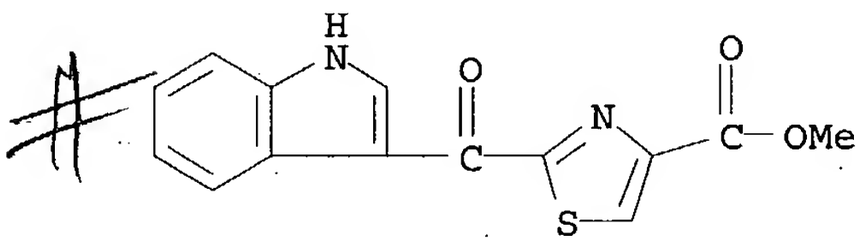
RN 448907-08-2 CAPLUS
CN Methanone, [4-(1-hydroxyhexyl)-2-thiazolyl]-1H-indol-3-yl- (9CI) (CA INDEX NAME)



RN 448907-12-8 CAPLUS
CN Methanone, [4-(1-hydroxyheptyl)-2-thiazolyl]-1H-indol-3-yl- (9CI) (CA INDEX NAME)



IT **448906-42-1P**
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
(Ah receptor endogenous ligand preparation and use)
RN 448906-42-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(1H-indol-3-ylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:58 CAPLUS
DOCUMENT NUMBER: 128:57082
TITLE: Discovery and Evaluation of a Series of 3-Acylindole Imidazopyridine Platelet-Activating Factor Antagonists
AUTHOR(S): Curtin, Michael L.; Davidsen, Steven K.; Heyman, H. Robin; Garland, Robert B.; Sheppard, George S.; Florjancic, Alan S.; Xu, Lianhong; Carrera, George M.,Jr.; Steinman, Douglas H.; Trautmann, Jeff A.; Albert, Daniel H.; Magoc, Terrance J.; Tapang, Paul; Rhein, David A.; Conway, Richard G.; Luo, Gongjin; Denissen, Jon F.; Marsh, Kennan C.; Morgan, Douglas W.; Summers, James B.
CORPORATE SOURCE: Immunosciences Research Area, Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-3500, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(1), 74-95
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Studies conducted with the goal of discovering a second-generation platelet-activating factor (PAF) antagonist have identified a novel class of potent and orally active antagonists which have high aqueous solubility and long

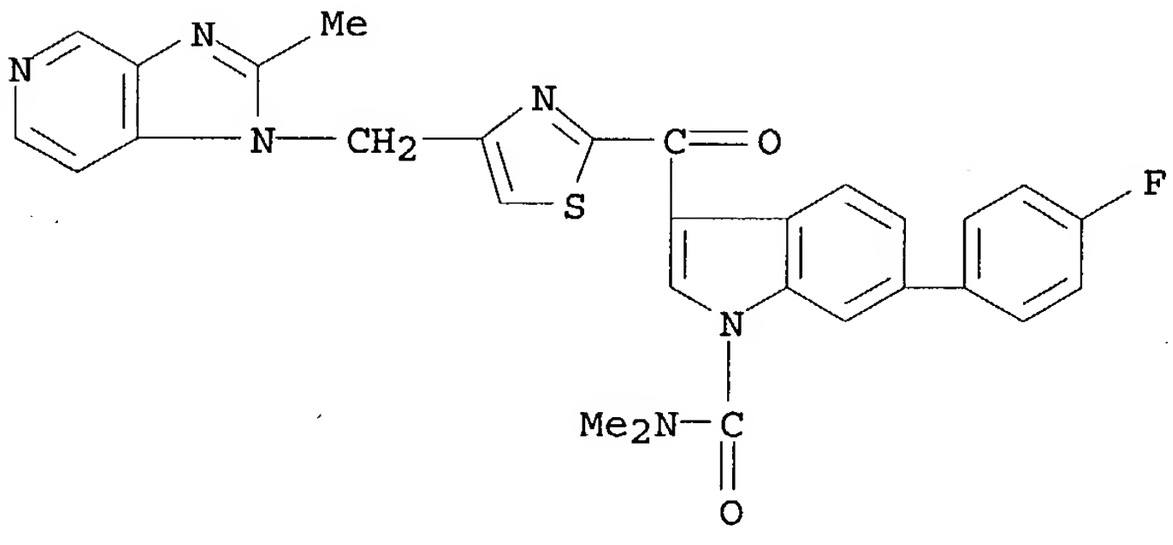
10074102

duration of action in animal models. The compds. arose from the combination of the lipophilic indole portion of Abbott's first-generation PAF antagonist ABT-299 with the methylimidazopyridine heterocycle moiety of British Biotechnol.'s BB-882 and possess the pos. attributes of both of these clin. candidates. Structure-activity relationship (SAR) studies indicated that modification of the indole and benzoyl spacer of lead compound 1-(N,N-Dimethylcarbamoyl)-6-(4-fluorophenyl)-3-{4-[(1H-2-methylimidazo[4,5-c]pyrid-1-yl)methyl]benzoyl}indole gave analogs that were more potent, longer-lived, and bioavailable and resulted in the identification of 1-(N,N-dimethylcarbamoyl)-4-ethynyl-3-{3-fluoro-4-[(1H-2-methylimidazo[4,5-c]pyrid-1-yl)methyl]benzoyl}indole hydrochloride (ABT-491) which has been evaluated extensively and is currently in clin. development.

IT **200418-02-6P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(acylindole imidazopyridine PAF antagonist preparation and evaluation)

RN 200418-02-6 CAPLUS

CN 1H-Indole-1-carboxamide, 6-(4-fluorophenyl)-N,N-dimethyl-3-[[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)methyl]-2-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L9 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:656741 CAPLUS
DOCUMENT NUMBER: 139:197298
TITLE: Synthesis of indole thiazoles as ligands for the Ah receptor
INVENTOR(S): Deluca, Hector F.; Grzywacz, Pawel K.; Sicinski, Rafal R.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

10074102

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068742	A1	20030821	WO 2003-US4205	20030211

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002183524	A1	20021205	US 2002-74102	20020212

PRIORITY APPLN. INFO.:	US 2002-356585P	P	20020212
	US 2002-74102	A	20020212
	US 2001-268809P	P	20010214

OTHER SOURCE(S): CASREACT 139:197298; MARPAT 139:197298

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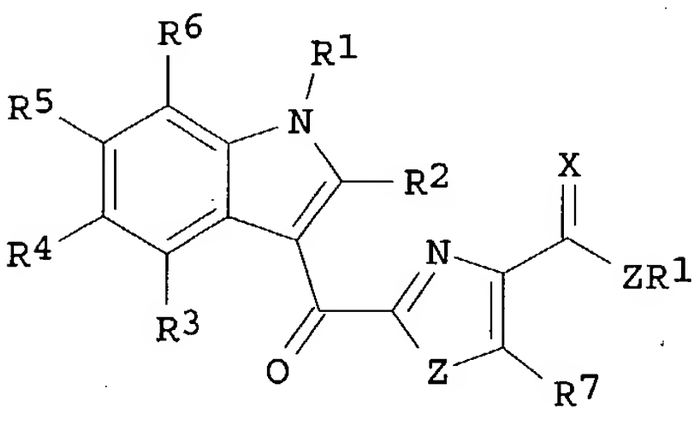

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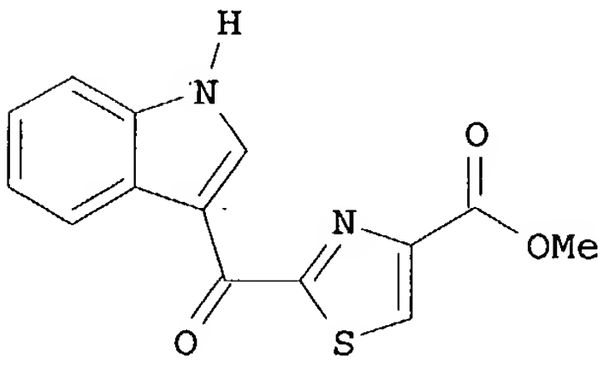


II

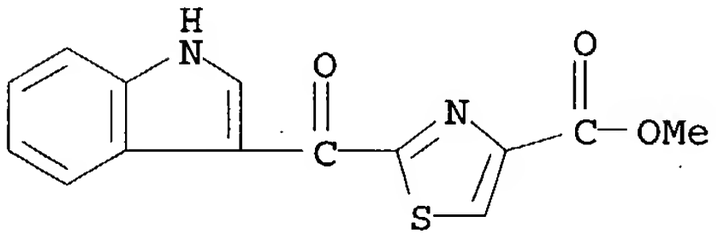
AB Title compds. I [wherein R1 = H, cycloalkyl, (un)substituted alkyl, aryl, a protecting group; R2, R3, R3, R4, R5, R6, R7 = H, alkyl, cycloalkyl, acyl, alkoxy, alkoxycarbonyl, halo, benzyloxy, nitro, NH2 and derivs., (un)substituted aryl; X, Z = O, S, NH] were prepared as aryl hydrocarbon receptor (AhR) ligands (no data) via TiCl4-catalyzed cyclization of indole-3-glyoxylamides to form the dihydrothiazole ring, and MnO2, NiO2, or BrCCl3/DBU oxidation to the thiazole. For example, II was prepared by TEA-acylation of L-cysteine Me ester with indole-3-glyoxylyl chloride in benzene at room temperature for 20 h, and at reflux for 2.5 h, TiCl4-cyclization of the indole-3-glyoxylamide intermediate, and MnO2, NiO2, or BrCCl3/DBU

oxidation of the resulting 4,5-dihydrothiazole. Compound II was found to be identical with the endogenous AhR ligand isolated previously from pig lung.

IT **448906-42-1P**, 2-(1'H-Indole-3'-carbonyl)thiazole-4-carboxylic acid methyl ester
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(Ah receptor ligand; synthesis of indole thiazoles as Ah receptor ligands)

RN 448906-42-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-(1H-indol-3-ylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

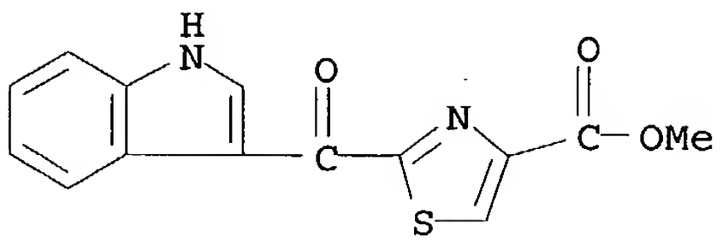
L9 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:338849 CAPLUS
DOCUMENT NUMBER: 139:214378
TITLE: A concise synthesis of an AHR endogenous ligand with the indolecarbonylthiazole skeleton
AUTHOR(S): Grzywacz, Pawel; Sicinski, Rafal R.; DeLuca, Hector F.
CORPORATE SOURCE: Department of Biochemistry, University of Wisconsin-Madison, Madison, WI, 53706, USA
SOURCE: Heterocycles (2003), 60(5), 1219-1224
CODEN: HTCYAM; ISSN: 0385-5414
PUBLISHER: Japan Institute of Heterocyclic Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:214378

AB Short synthesis of an endogenous ligand for the aryl hydrocarbon receptor (AHR), 2-(1H-indol-3-ylcarbonyl)thiazole-4-carboxylic acid Me ester (I) was described. N-Acylation of L-cysteine Me ester with α-oxo-1H-indole-3-acetyl chloride chloride provided a glyoxylamide [i.e., (+)-N-[(1H-indol-3-yl)-1,2-dioxoethyl]-L-cysteine Me ester] which underwent the TiCl4-mediated cyclization to a thiazoline compound[i.e., (+)-(4R)-2-(1H-indol-3-ylcarbonyl)-4,5-dihydro-4-thiazolecarboxylic acid Me ester]. Dehydrogenation of the latter gave I.

IT **448906-42-1P**, 2-(1H-Indol-3-ylcarbonyl)-4-thiazolecarboxylic acid methyl ester
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of aryl hydrocarbon receptor endogenous ligand (indolylcarbonyl)thiazolecarboxylic acid Me ester)

RN 448906-42-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-(1H-indol-3-ylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

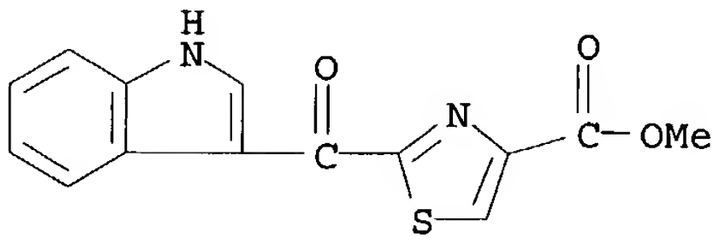
L9 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:637522 CAPLUS
DOCUMENT NUMBER: 137:163838
TITLE: Preparation and use of an aryl hydrocarbon (Ah) receptor ligand, 2-(1'-H-indole-3'-carbonyl)-thiazole-4-carboxylic acid methyl ester
INVENTOR(S): Deluca, Hector F.; Song, Jiasheng; Clagett-Dame, Margaret; Peterson, Richard E.; Westler, William M.; Sicinski, Raphal R.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064138	A1	20020822	WO 2002-US4137	20020212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1365760	A1	20031203	EP 2002-717416	20020212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002007689	A	20040309	BR 2002-7689	20020212

PRIORITY APPLN. INFO.: US 2001-268809P P 20010214
WO 2002-US4137 W 20020212
OTHER SOURCE(S): MARPAT 137:163838

AB Preparation, use, and structure of endogenous Ah receptor ligand is disclosed. Ligand analogs are also disclosed. Potential therapeutic uses include e.g. body weight reduction and immunomodulation.

IT **448906-42-1P**
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); USES (Uses)
(Ah receptor endogenous ligand preparation and use)
RN 448906-42-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-(1H-indol-3-ylcarbonyl)-, methyl ester (9CI) (CA INDEX NAME)



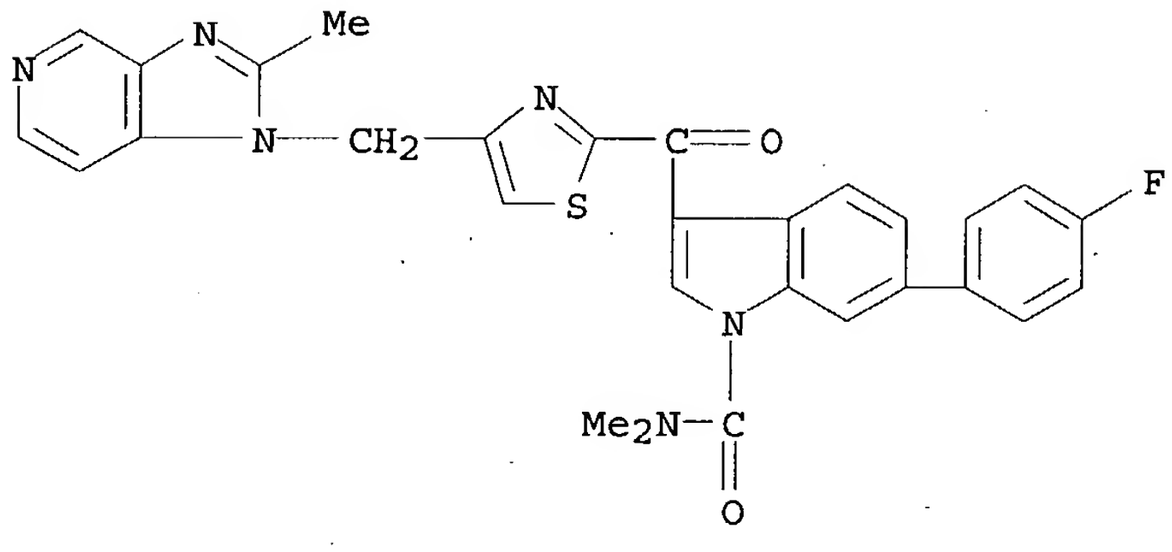
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:58 CAPLUS
DOCUMENT NUMBER: 128:57082
TITLE: Discovery and Evaluation of a Series of 3-Acylindole Imidazopyridine Platelet-Activating Factor Antagonists
AUTHOR(S): Curtin, Michael L.; Davidsen, Steven K.; Heyman, H. Robin; Garland, Robert B.; Sheppard, George S.; Florjancic, Alan S.; Xu, Lianhong; Carrera, George M.,Jr.; Steinman, Douglas H.; Trautmann, Jeff A.; Albert, Daniel H.; Magoc, Terrance J.; Tapang, Paul; Rhein, David A.; Conway, Richard G.; Luo, Gongjin; Denissen, Jon F.; Marsh, Kennan C.; Morgan, Douglas W.; Summers, James B.
CORPORATE SOURCE: Immunosciences Research Area, Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-3500, USA
SOURCE: Journal of Medicinal Chemistry (1998), 41(1), 74-95
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Studies conducted with the goal of discovering a second-generation platelet-activating factor (PAF) antagonist have identified a novel class of potent and orally active antagonists which have high aqueous solubility and long duration of action in animal models. The compds. arose from the combination of the lipophilic indole portion of Abbott's first-generation PAF antagonist ABT-299 with the methylimidazopyridine heterocycle moiety of British Biotechnol.'s BB-882 and possess the pos. attributes of both of these clin. candidates. Structure-activity relationship (SAR) studies indicated that modification of the indole and benzoyl spacer of lead compound 1-(N,N-Dimethylcarbamoyl)-6-(4-fluorophenyl)-3-{4-[(1H-2-methylimidazo[4,5-c]pyrid-1-yl)methyl]benzoyl}indole gave analogs that were more potent, longer-lived, and bioavailable and resulted in the identification of 1-(N,N-dimethylcarbamoyl)-4-ethynyl-3-{3-fluoro-4-[(1H-2-methylimidazo[4,5-c]pyrid-1-yl)methyl]benzoyl}indole hydrochloride (ABT-491) which has been evaluated extensively and is currently in clin. development.

IT **200418-02-6P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(acylindole imidazopyridine PAF antagonist preparation and evaluation)
RN 200418-02-6 CAPLUS
CN 1H-Indole-1-carboxamide, 6-(4-fluorophenyl)-N,N-dimethyl-3-[[4-[(2-methyl-1H-imidazo[4,5-c]pyridin-1-yl)methyl]-2-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)

10074102



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	43.68	374.63

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-6.24	-9.01

STN INTERNATIONAL LOGOFF AT 16:44:51 ON 17 MAY 2004